

10/ 613,782

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PASSWORD:

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* * * * * Welcome to STN International * * * * *

| | | | |
|------|---------|--------|--|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | FEB 25 | CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered |
| NEWS | 4 | FEB 28 | PATDPAFULL - New display fields provide for legal status data from INPADOC |
| NEWS | 5 | FEB 28 | BABS - Current-awareness alerts (SDIs) available |
| NEWS | 6 | FEB 28 | MEDLINE/LMEDLINE reloaded |
| NEWS | 7 | MAR 02 | GBFULL: New full-text patent database on STN |
| NEWS | 8 | MAR 03 | REGISTRY/ZREGISTRY - Sequence annotations enhanced |
| NEWS | 9 | MAR 03 | MEDLINE file segment of TOXCENTER reloaded |
| NEWS | 10 | MAR 22 | KOREAPAT now updated monthly; patent information enhanced |
| NEWS | 11 | MAR 22 | Original IDE display format returns to REGISTRY/ZREGISTRY |
| NEWS | 12 | MAR 22 | PATDPASPC - New patent database available |
| NEWS | 13 | MAR 22 | REGISTRY/ZREGISTRY enhanced with experimental property tags |
| NEWS | 14 | APR 04 | EPFULL enhanced with additional patent information and new fields |
| NEWS | 15 | APR 04 | EMBASE - Database reloaded and enhanced |
| NEWS | 16 | APR 18 | New CAS Information Use Policies available online |
| NEWS | 17 | APR 25 | Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications. |
| NEWS | 18 | APR 28 | Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS |
| NEWS | EXPRESS | | JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005 |
| NEWS | HOURS | | STN Operating Hours Plus Help Desk Availability |
| NEWS | INTER | | General Internet Information |
| NEWS | LOGIN | | Welcome Banner and News Items |
| NEWS | PHONE | | Direct Dial and Telecommunication Network Access to STN |
| NEWS | WWW | | CAS World Wide Web Site (general information) |

Enter NEWS followed by the item number or name to see news on that specific topic.

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10/ 613,782

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:37:17 ON 19 MAY 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:37:32 ON 19 MAY 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4

DICTIONARY FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

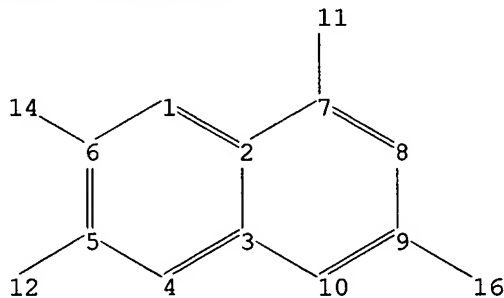
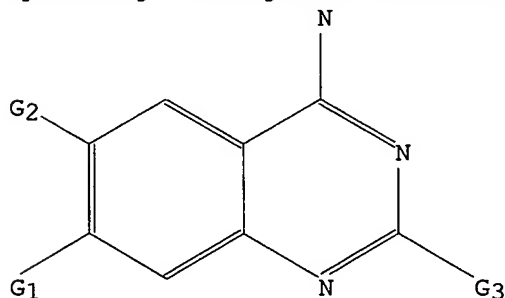
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10613782.str



chain nodes :

12 14 16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

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chain bonds :

5-12 6-14 7-11 9-16

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

exact/norm bonds :

5-12 6-14 7-11 9-16

normalized bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

isolated ring systems :

containing 1 :

G1:O,N

G2:H,X,Ak

G3:Ak,NH2

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

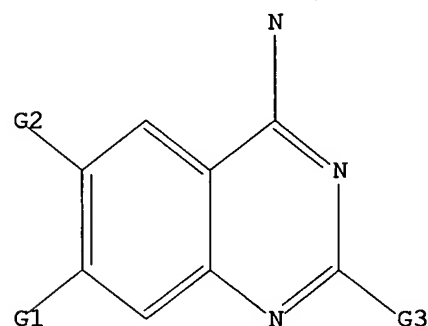
11:Atom 12:CLASS 14:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N

G2 H,X,Ak

G3 Ak,NH2

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample

SAMPLE SEARCH INITIATED 16:38:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1855 TO ITERATE

53.9% PROCESSED 1000 ITERATIONS

1 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

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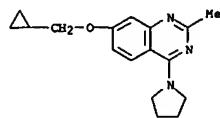
| | | |
|-----------------------|----------|--------------|
| | BATCH | **COMPLETE** |
| PROJECTED ITERATIONS: | 34517 TO | 39683 |
| PROJECTED ANSWERS: | 1 TO | 118 |

L2 1 SEA SSS SAM L1

=> d scan 12

10/ 613,782

L2 1 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Quinazoline, 7-(cyclopropylmethoxy)-2-methyl-4-(1-pyrrolidinyl)- (9CI)
MF C17 H21 N3 O
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

10/ 613,782

=> s l1 full

FULL SEARCH INITIATED 16:39:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 37212 TO ITERATE

100.0% PROCESSED 37212 ITERATIONS
SEARCH TIME: 00.00.02

36 ANSWERS

L3 36 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

162.19

162.40

FILE 'CAPLUS' ENTERED AT 16:39:15 ON 19 MAY 2005
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FILE COVERS 1907 - 19 May 2005 VOL 142 ISS 21
FILE LAST UPDATED: 18 May 2005 (20050518/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 3 L3

=> d l4 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:41451 CAPLUS

DOCUMENT NUMBER: 140:111423

TITLE: Quinazoline derivatives useful as neuropeptide Y (NPY) receptor ligands, particularly antagonists, their preparation and pharmaceutical compositions, and their use in the treatment of, e.g. obesity

INVENTOR(S): Mattei, Patrizio; Mueller, Werner; Neidhart, Werner; Nettekoven, Matthias; Heinrich, Pflieger, Philippe

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 44 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

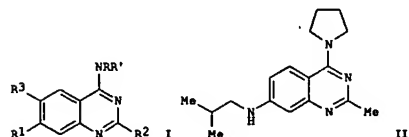
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004005265 | A1 | 20040115 | WO 2003-EP6868 | 20030627 |
| <p>V: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW</p> | | | | |
| <p>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG</p> | | | | |
| CA 2489251 | AA | 20040115 | CA 2003-2489251 | 20030627 |
| US 2004029901 | A1 | 20040212 | US 2003-613782 | 20030703 |
| PRIORITY APPLN. INFO.: | | | EP 2002-14904 | A 20020705 |
| | | | WO 2003-EP6868 | V 20030627 |

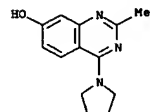
OTHER SOURCE(S): HARPAT 140:111423

GI



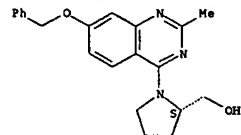
AB Title compds. I and their pharmaceutically acceptable salts and esters can be used in the form of pharmaceutical preps. for the treatment or prevention of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders, and obesity [wherein: R1 = OR4 or NR5R6; = alkyl or

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



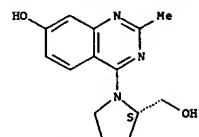
RN 646450-66-0 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-4-quinazolinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-67-1 CAPLUS
CN 7-Quinazolinol, 4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-73-9 CAPLUS
CN Quinazoline, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

amino R3 = H, alkyl, or halogen; R4 = H, alkyl, alkoxyalkyl, hydroxyalkyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl, amino-SO2-, or alkyl-SO2-; R5, R6 = H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, cycloalkylcarbonyl, aryl, aralkyl, arylcarbonyl, alkoxyalkyl, hydroxyalkyl, heterocyclyl, heterocyclylalkyl, heterocyclylcarbonyl, alkyl-SO2-, aryl-SO2-, heterocyclyl-SO2-, or amino-SO2-; or NR5R6 = 5- to 10-membered heterocyclic ring with optional addnl. N or O atom, and optionally substituted with alkyl and/or alkoxy; NRR' = 5- to 7-membered satd. heterocyclic ring optionally contg. a second heteroatom (O, N, or S) and, optionally substituted by halogen, alkyl, alkoxy, haloalkoxy, cycloalkylalkoxy, hydroxy, amino, acetyl amino, cyano, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, and cycloalkylalkoxyalkyl. I are neuropeptide ligands; more specifically, they are selective neuropeptide Y (NPY) antagonists, and in particular, they are antagonists for the Y5 receptor subtype. Approx. 34 specific examples were prepd., and 10 of these are claimed. For instance, 4-bromoanthranilic acid was cyclocondensed with acetyl chloride to give 99.4% 7-bromo-2-methyl-3H-quinazolin-4-one, which was treated with POC13 and PhOMe2 to give 59% 7-bromo-4-chloro-2-methylquinazoline. Aminolysis of this dihalide, first with pyrrolidine at the 4-position (100%), and then with isobutylamine at the 7-position, gave a preferred invention compd., II. In tests for displacement of labeled peptide YY (PYY) from mouse brain NPY5 receptors expressed in HEK 293 cells, compd. II had an IC50 value of 3 nM.

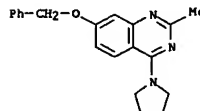
IT 646450-52-4P, 7-Benzylxy-2-methyl-4-pyrrolidin-1-ylquinazoline 646450-53-5P, 2-Methyl-4-pyrrolidin-1-ylquinazolin-7-ol 646450-66-0P, (S)-1-[(7-Benzylxy-2-methylquinazolin-4-yl)pyrrolidin-2-yl]methanol 646450-67-1P, (S)-4-[(2-Hydroxymethyl)pyrrolidin-1-yl]-2-methylquinazolin-7-ol 646450-73-9P, (S)-7-Benzylxy-4-[(3-ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-ol 646450-74-0P, (S)-4-[(3-Ethoxypyrrolidin-1-yl)-2-methylquinazolin-7-ol 646450-76-2P, (S)-1-[(7-Benzylxy-2-methylquinazolin-4-yl)pyrrolidin-3-ol 646450-77-3P, (S)-4-[(3-Hydroxypyrrolidin-1-yl)-2-methylquinazolin-7-ol

RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of quinazoline derivs. as NPY antagonists for treatment of obesity, etc.)

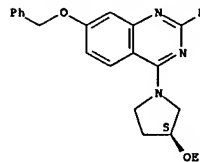
RN 646450-52-4 CAPLUS

CN Quinazoline, 2-methyl-7-(phenylmethoxy)-4-[(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



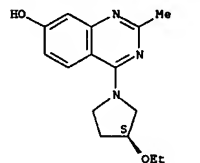
RN 646450-53-5 CAPLUS
CN 7-Quinazolinol, 2-methyl-4-[(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



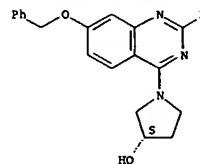
RN 646450-74-0 CAPLUS
CN 7-Quinazolinol, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-76-2 CAPLUS
CN 3-Pyrrolidinol, 1-[(2-methyl-7-(phenylmethoxy)-4-quinazolinyl)-, (3S)- (9CI) (CA INDEX NAME)

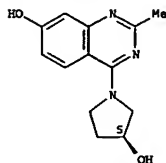
Absolute stereochemistry.



RN 646450-77-3 CAPLUS
CN 7-Quinazolinol, 4-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

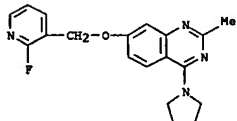
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



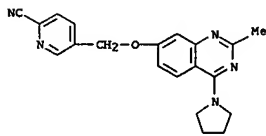
IT 646450-56-8P, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile 646450-58-0P, 7-(2-Chloropyridin-3-ylmethoxy)-2-methyl-4-pyrrolidin-1-ylquinazoline 646450-61-5P, 2-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile 646450-62-0P, 7-(2-Fluoropyridin-3-ylmethoxy)-2-methyl-4-pyrrolidin-1-ylquinazoline 646450-63-7P, 5-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]pyridine-2-carbonitrile 646450-64-8P, 7-Cyclopropylmethoxy-2-methyl-4-pyrrolidin-1-ylquinazoline hydrochloride 646450-65-9P, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]oxy]methyl]benzonitrile 646450-68-2P, (S)-4-[[[4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]benzonitrile 646450-69-3P, (S)-[1-[7-(2-Chloropyridin-3-ylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol 646450-70-6P, (S)-[1-[7-(2-Fluoropyridin-3-ylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol 646450-71-7P, (S)-5-[[[4-(2-Hydroxymethylpyrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]pyridine-2-carbonitrile 646450-72-8P, (S)-[1-[7-(Cyclopropylmethoxy)-2-methylquinazolin-4-yl]pyrrolidin-2-yl]methanol 646450-75-1P, (S)-4-[[[4-(3-Ethoxypyrrrolidin-1-yl)-2-methylquinazolin-7-yl]oxy]methyl]benzonitrile 646450-79-5P, (Cyclopropylmethoxy)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-80-8P, (Isobutyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-81-9P, (2,2-Dimethylpropyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-82-0P, (2-Chlorobenzyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-83-1P, (2-Methylbenzyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-84-2P, 4-[[[2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amino]benzonitrile 646450-85-3P, (4-Fluorophenyl)[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amine 646450-86-4P, [2-Methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]pyridin-3-ylamine 646450-87-5P, Furan-2-carboxylic acid N-[2-methyl-4-(pyrrolidin-1-yl)quinazolin-7-yl]amide 646450-88-6P, (S)-[4-(3-Ethoxypyrrrolidin-1-yl)-2-methylquinazolin-7-yl]pyridin-3-ylamine 646450-89-7P, (S)-[4-(3-Ethoxypyrrrolidin-1-yl)-2-methylquinazolin-7-yl](4-fluorophenyl)amine 646450-90-0P, (S)-[4-(3-Methoxypyrrrolidin-1-yl)-2-methylquinazolin-7-yl]amine
 R₁: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of quinazoline deriva. as NPY antagonists

for

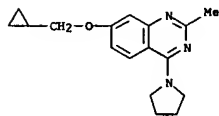
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 646450-63-7 CAPLUS
 CN 2-Pyridinecarbonitrile, 5-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RN 646450-64-8 CAPLUS
 CN Quinazoline, 7-(cyclopropylmethoxy)-2-methyl-4-(1-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

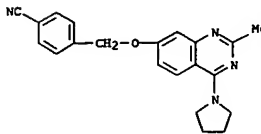
RN 646450-65-9 CAPLUS
 CN Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

treatment of obesity, etc.)

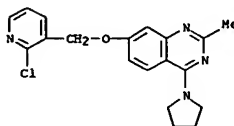
RN 646450-56-8 CAPLUS

CN Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



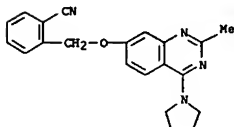
RN 646450-58-0 CAPLUS

CN Quinazoline, 7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 646450-61-5 CAPLUS

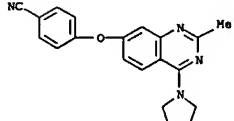
CN Benzonitrile, 2-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)



RN 646450-62-6 CAPLUS

CN Quinazoline, 7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

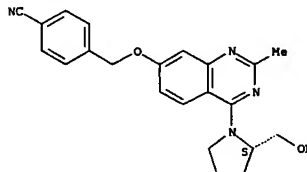
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



RN 646450-68-2 CAPLUS

CN Benzonitrile, 4-[[[4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

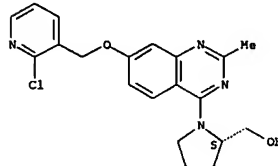
Absolute stereochemistry.



RN 646450-69-3 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

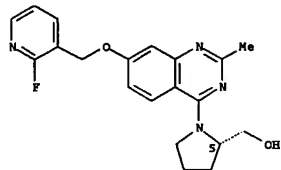


RN 646450-70-6 CAPLUS

CN 2-Pyrrolidinemethanol, 1-[7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

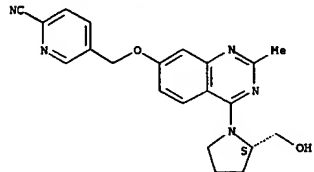
Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



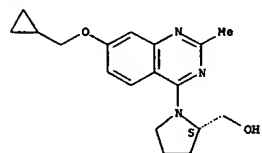
RN 646450-71-7 CAPLUS
 CN 2-Pyridinecarbonitrile, 5-[[[4-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



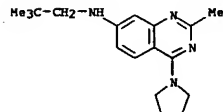
RN 646450-72-8 CAPLUS
 CN 2-Pyrrolidineneethanol, 1-[[7-(cyclopropylmethoxy)-2-methyl-4-quinazolinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

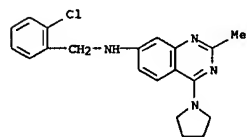


RN 646450-75-1 CAPLUS
 CN Benzonitrile, 4-[[[4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-7-

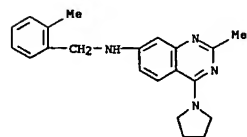
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



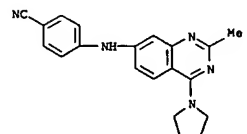
RN 646450-82-0 CAPLUS
 CN 7-Quinazolinamine, N-[(2-chlorophenyl)methyl]-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 646450-83-1 CAPLUS
 CN 7-Quinazolinamine, 2-methyl-N-[(2-methylphenyl)methyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



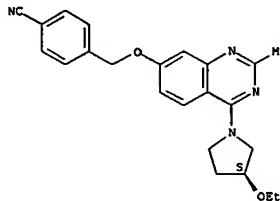
RN 646450-84-2 CAPLUS
 CN Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



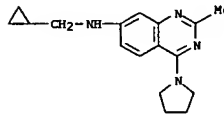
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

quinazolinyl]oxy)methyl]- (9CI) (CA INDEX NAME)

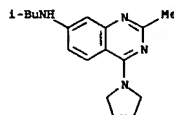
Absolute stereochemistry.



RN 646450-79-5 CAPLUS
 CN 7-Quinazolinamine, N-(cyclopropylmethyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



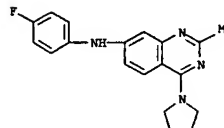
RN 646450-80-8 CAPLUS
 CN 7-Quinazolinamine, 2-methyl-N-(2-methylpropyl)-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



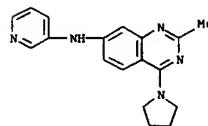
RN 646450-81-9 CAPLUS
 CN 7-Quinazolinamine, N-(2,2-dimethylpropyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

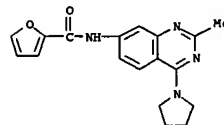
RN 646450-85-3 CAPLUS
 CN 7-Quinazolinamine, N-(4-fluorophenyl)-2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 646450-86-4 CAPLUS
 CN 7-Quinazolinamine, 2-methyl-N-3-pyridinyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

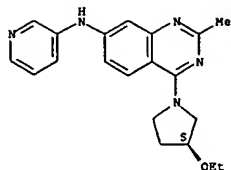


RN 646450-87-5 CAPLUS
 CN 2-Furancarboxamide, N-[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]- (9CI) (CA INDEX NAME)



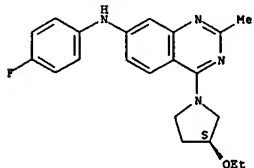
RN 646450-88-6 CAPLUS
 CN 7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



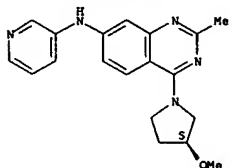
RN 646450-89-7 CAPLUS
CN 7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-N-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646450-90-0 CAPLUS
CN 7-Quinazolinamine, 4-[(3S)-3-methoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

ACCESSION NUMBER: 1999:172597 CAPLUS
DOCUMENT NUMBER: 130:209716
TITLE: Preparation of 2-vinyl-4-aminoquinazoline derivatives as insulin secretion promoters and antidiabetics
INVENTOR(S): Ueno, Kimihisa; Hosoto, Yuji; Takasaki, Kotaro; Yoshida, Miho; Kusaka, Hideaki; Yano, Hiroshi; Nakanishi, Satoshi; Matsuda, Yuzuru; Usaka, Noriaki; Suzuki, Chiharu
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 9909986 | A1 | 19990304 | WO 1998-JP3711 | 19980821 |
| W: AU, BG, BR, CA, CN, CZ, EU, IL, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9887487 | A1 | 19990316 | AU 1998-87487 | 19980821 |
| PRIORITY APPLN. INFO.: | | | JP 1997-225963 | A 19970822 |
| | | | WO 1998-JP3711 | W 19980821 |
| OTHER SOURCE(S): | | | MARPAT 130:209716 | |
| GI | | | | |

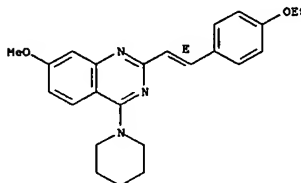
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are insulin secretion promoters and remedies for diabetes which contain as the active ingredient 2-vinyl-4-aminoquinazoline derivs. represented by general formula (I) or pharmaceut. acceptable salts thereof [wherein R1A and R1B are the same or different and each represents hydrogen, lower alkyl, lower alkoxy, halogeno, nitro, NR3R4 (wherein R3 and R4 are the same or different and each represents hydrogen or lower alkyl), etc.; or R1A may form together with R1B adjacent thereto O(CH2)nO (wherein n is 1 or 2); Cy represents optionally substituted aryl; R2 represents hydrogen or optionally substituted lower alkyl; and A represents hydrogen or optionally substituted lower alkyl, optionally substituted cycloalkyl, etc.; or R2 and A may form together with the nitrogen atom adjacent thereto an optionally substituted heterocycle]. These compds. exhibited insulin secretion activity at high concentration of glucose (14.5 mM) but no substantial activity at low concentration of glucose (5 mM). For comparison, glubenzamide did exhibit substantial insulin-secretion activity at low concentration of glucose. Thus, 7-chloro-7-methoxy-2-[2-(E)-(2,4-dimethoxyphenyl)vinyl]quinazoline was condensed with N-methylphenethylamine to give the title compound (II). II in vitro showed insulin secretion activity of 3,413 ng/mL at 1 μM under 14.5 mM glucose and 86 ng/mL at 10 μM under 5 mM glucose in spleen β-cells (MIN6) as compared to that of 684 ng/mL at 0.1 μM under 14.5 mM glucose and 317 ng/mL at 0.1 μM under 5 mM glucose for glubenzamide.

IT 221008-87-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of vinylaminoquinazoline derivs. as insulin secretion promoters and antidiabetics)
RN 221008-87-3 CAPLUS
CN Quinazoline, 2-[(1E)-2-(4-ethoxyphenyl)ethenyl]-7-methoxy-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1972:405511 CAPLUS
 DOCUMENT NUMBER: 77:5511
 TITLE: 2-Styryl-4-aminoquinazolines
 INVENTOR(S): Breuer, Hermann; Schulte, Ernst
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc.
 SOURCE: Ger. Offen., 18 pp.
 CODEN: GWXKXK
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------------|
| DE 2135172 | A | 19720120 | DE 1971-2135172 | 19710714 |
| US 3753981 | A | 19730821 | US 1970-55252 | 19700715 |
| CH 532056 | A | 19730215 | CH 1971-532056 | 19710714 |
| CA 971962 | A1 | 19750729 | CA 1971-118193 | 19710714 |
| FR 2100916 | A5 | 19720324 | FR 1971-25952 | 19710715 |
| FR 2100916 | B1 | 19741018 | | |
| HU 163174 | F | 19730628 | HU 1971-SU648 | 19710715 |
| GB 1364294 | A | 19740821 | GB 1971-33228 | 19710715 |
| | | | US 1970-55252 | A 19700715 |

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.
 AB The title compds. [I, R = NHCHMe(CH₂)₃NEt₂, morpholino, or 4-methyl-1-piperazinyl; R₁ = H, Cl, OMe, or NO₂; R₂ = H or Cl], useful as antiinflammatory agents, were prepared by treatment of 2-styryl-4(3H)-quinazolinones with POCl₃ to give I (R = Cl) and reaction with amines. Thus, 28.3 g 6-chloro-2-styryl-4(3H)-quinazolinone was refluxed 4 hr with POCl₃ in PhOMe₂ and C₆H₆ to give I (R = Cl, R₁ = 6-Cl, R₂ = H). Similarly prepared were 8 I (R = Cl), e.g. (R₁ and R₂ given): 7-Cl, H (II); 6-OMe, Cl. Refluxing 8.4 g II 15 hr with H₂NCHMe(CH₂)₃NEt₂ in C₆H₆ gave 9.25 g I (R = NHCHMe(CH₂)₃NEt₂, R₁ = 7-Cl, R₂ = H), from which the di-HCl salt was also prepared. Similarly prepared were 14 addnl. I, e.g. (R-R₂ and salt given): morpholino, 7-Cl, Cl, -; 4-methyl-1-piperazinyl, 6-Cl, H, 1.5HCl.0.5H₂O; NHCHMe(CH₂)₃NEt₂, 7-OMe, H, 2HCl.2H₂O.
 IT 36945-47-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 RN 36945-47-8 CAPLUS
 CN Quinazoline, 7-methoxy-4-(4-morpholinyl)-2-(2-phenylethenyl)- (9CI) (CA INDEX NAME)

